

12/19/2008

10-587,150 entire scope.trn

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NEWS 4 OCT 07 Multiple databases enhanced for more flexible patent number searching
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NEWS 6 OCT 22 WPIDS, WPINDEX, and WPIX enhanced with Canadian PCT Applications
NEWS 7 OCT 24 CHEMLIST enhanced with intermediate list of pre-registered REACH substances
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NEWS 9 NOV 26 MARPAT enhanced with FSORT command
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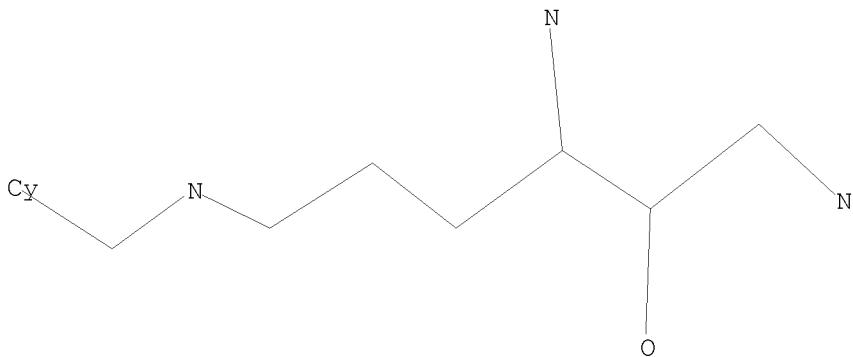
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10-587,150 entire scope.trn

Match level :
1:CLASS 2:CLASS 3:CLASS 4:Atom 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS 12:CLASS

L1 STRUCTURE UPLOADED

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L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11 sss sam
SAMPLE SEARCH INITIATED 09:02:56 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 16661 TO ITERATE

12.0% PROCESSED 2000 ITERATIONS 3 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 325488 TO 340952
PROJECTED ANSWERS: 200 TO 798

L2 3 SEA SSS SAM L1

=> s 11 sss full
FULL SEARCH INITIATED 09:03:04 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 337422 TO ITERATE

98.0% PROCESSED 330654 ITERATIONS 377 ANSWERS
100.0% PROCESSED 337422 ITERATIONS 377 ANSWERS
SEARCH TIME: 00.00.23

L3 377 SEA SSS FUL L1

12/19/2008

10-587,150 entire scope.trn

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=> file caplus
COST IN U.S. DOLLARS          SINCE FILE      TOTAL
                                ENTRY        SESSION
FULL ESTIMATED COST          178.82       179.03
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FILE 'CAPLUS' ENTERED AT 09:03:43 ON 18 DEC 2008
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FILE COVERS 1907 - 18 Dec 2008 VOL 149 ISS 25
FILE LAST UPDATED: 17 Dec 2008 (20081217/ED)

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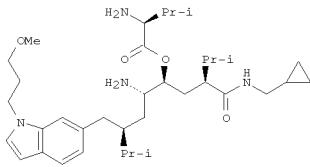
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L4          7 L3
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L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2008:467208 CAPLUS
 DOCUMENT NUMBER: 148:472388
 TITLE: Preparation of amino alcohol derivatives as renin inhibitors
 INVENTOR(S): Herold, Peter; Mah, Robert; Marti, Christiane
 PATENT ASSIGNEE(S): Speedel Experimenta AG, Switz.
 SOURCE: Eur. Pat. Appl., 39pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1911762	A1	20080416	EP 2006-121768	20061004
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
PRIORITY APPLN. INFO.:		EP 2006-121768		20061004

OTHER SOURCE(S): MARPAT 148:472388
 GI



I

AB The invention relates to substituted amino alcs.
 $Q-NHC(CH_2CR_2R_3CH_2-T)CH(CH_2-X)-O-Z$ [Q is H, a radical A whereby an amide bond is formed, or CO₂CHR₆OCCR₇; T is R₁, R₁CO, or R₁CONR₅; X is NR₅COR₄, -alkylene-CONR₄R₅, or NR₈R₉; Z is H or a radical A whereby an ester bond is formed; R₁ is aryl or nitrogen-containing heterocycl; R₂, R₃ are H or alkyl or together are cycloalkyl; R₄ is (un)substituted alkyl, whereby hydroxy groups are optionally substituted by a radical A forming an ester bond; R₅ is H or alkyl; R₆ is optionally carboxy- or hydroxy-substituted alkyl or arylalkyl; R₇ is alkyl; NR₈R₉ is a ring; A is a mono- or dipeptidic residue of one or two of the 20 natural amino acids; a radical A is present in at least one of R₄, Q or Z or at least Q is a group of formula CO₂CHR₆OCCR₇] or their pharmaceutically-acceptable salts,

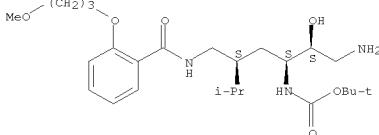
L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



IT 861451-17-4
 RL: PRPH (Prophetic); RCT (Reactant); RACT (Reactant or reagent)
 (preparation of amino alc. derivs. as renin inhibitors)
 RN 861451-17-4 CAPLUS
 CN L-arabino-Hexitol, 1-amino-1,3,4,5,6-pentadeoxy-3-[(1,1-

dimethylethoxy)carbonyl]amino]-6-[[2-(3-methoxypropoxy)benzoyl]amino]-5-(1-methylethyl) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
 including a process for their prepn. and use as medicines, in particular as renin inhibitors. The enzymic substrate portion of the compd. is simultaneously a substrate for a membrane transporter. Thus, amino acid deriv. I bis(trifluoroacetate) was prepd. by a multistep sequence involving amide and ester forming reactions.

IT 1020112-00-8P
 RL: PAC (Pharmacological activity); PRPH (Prophetic); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amino alc. derivs. as renin inhibitors)

RN 1020112-00-8 CAPLUS

CN L-Valine, ester with 3-amino-1,3,4,5,6-pentadeoxy-6-[[2-(3-methoxypropoxy)benzoyl]amino]-5-(1-methylethyl)-1-[[2-(trans-4-hydroxycyclohexyl)-2-methyl-1-oxopropyl]amino]-L-arabino-Hexitol, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

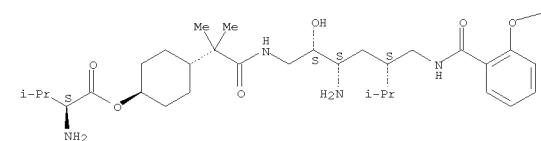
CM 1

CRN 1020111-99-2

CMF C35 H60 N4 O7

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

$\text{--}(\text{CH}_2)_3\text{--}$ OMe

CM 2

CRN 76-05-1

CMF C2 H F3 O2

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

ACCESSION NUMBER: 2008:353219 CAPLUS

DOCUMENT NUMBER: 148:379345

TITLE: Preparation of nitrate esters of aryl or heterocyclyl aminodiols as cardiovascular agents.

INVENTOR(S): Herold, Peter; Mah, Robert; Marti, Christiane; Jotterand, Nathalie

PATENT ASSIGNEE(S): Speedel Experimenta AG, Switz.

SOURCE: PCT Int. Appl., 72pp.

CODEN: EPXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008031811	A1	20080320	WO 2007-EP59504	20070911
W: AE, AG, AL, AM, AU, AZ, BA, BE, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HV, ID, IL, IR, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LF, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MM, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, VA, VN, ZA, ZM, ZW				
RM: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, EG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:				CH 2006-1453

A 20060912

OTHER SOURCE(S): MARPAT 148:379345

AB RIYCH₂CR₂R₃CH₂(NH₂)CH(OH)CH₂WnO_n [R₁ = substituted aryl, heterocycl; R₂, R₃, R₄ = H, alkyl; CR₂R₃ = cycloalkyl; V = A, AOA, arylene, cycloalkylene, etc.; X = NR₄CO, ACONR₄; A = alkylene; Y = bond, CO, CONR₄; Z = Z1O; Z1 = OOC, COO₂; U = (substituted) A, phenylene, etc.; n = 0, 1], were prepared Title compds. inhibited renin with IC₅₀ values

in the range of 0.1-100 nM.

IT 1013922-23-OP 1013922-29-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of nitrate esters of aryl or heterocyclyl aminodiols as cardiovascular agents)

RN 1013922-23-0 CAPLUS

CN L-arabino-Hexitol, 3-amino-1,3,4,5,6-pentadeoxy-6-[[2-(3-methoxypropoxy)benzoyl]amino]-5-(1-methylethyl)-1-[[2-methyl-1-oxopropyl]amino]- (CA INDEX NAME)

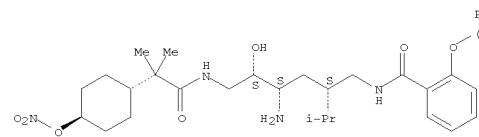
Absolute stereochemistry.

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L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)

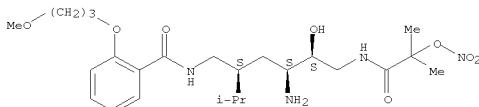


PAGE 1-B

-OMe

RN 1013922-29-6 CAPLUS
CN L-arabinno-Hexitol, 3-amino-1,3,4,5,6-pentadeoxy-6-[{2-(3-methoxypropoxy)benzoyl]amino]-5-(1-methylethyl)-1-[(2-methyl-2-(nitrooxy)-1-oxopropyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



IT 861451-17-4
RL: PRPH (Prophetic); RCT (Reactant); RACT (Reactant or reagent)
(preparation of nitrate esters of aryl or heterocyclic aminodiols as
cardiovascular agents)
RN 861451-17-4 CAPLUS
CN L-arabinno-Hexitol, 1-amino-1,3,4,5,6-pentadeoxy-3-[[{(1,1-dimethylethoxy)carbonyl}amino]-6-[{2-(3-methoxypropoxy)benzoyl]amino]-5-(1-methylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

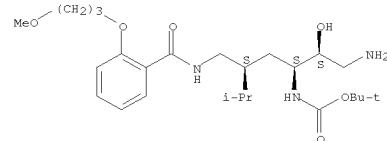
L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007191084 CAPLUS
DOCUMENT NUMBER: 146:156265
TITLE: Amido-amino alcohols as therapeutic compounds
INVENTOR(S): Herold, Peter; Stutz, Stefan; Tschinke, Vincenzo;
Stojanovic, Aleksandar; Marti, Christiane; Quirnbach,
Michael; Schumacher, Christoph
PATENT ASSIGNEE(S): Switz.
SOURCE: U.S. Pat. Appl. Publ., 15 pp.
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070021399	A1	20070125	US 2006-488058	20060719
PRIORITY APPN. INFO.:			CH 2005-1211	A 20050720

OTHER SOURCE(S): CASREACT 146:156265; MARPAT 146:156265
AB Use of compds. of the general formula (I) in which R, R₁, R₂, R₃, R₄, R₅, X₁ and X₂ have the definitions illustrated in detail in the description, as beta-secretase, cathepsin D, plasminogen II and/or HIV protease inhibitors.
IT 861450-97-7 861450-99-8 861450-99-9
861451-01-6 861451-02-7 861451-03-8
1057088-48-8 1057088-50-2 1057088-51-3
1057088-52-4 1057088-53-5 1057088-54-6
1057088-55-7 1057088-56-8 1057088-57-9
1057088-58-0 1057088-61-5 1057088-62-6
1057088-65-9 1057088-66-0 1057088-67-1
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1057090-29-5 1057090-30-8 1057090-31-9
1069117-73-2 1069117-95-8
RL: PRPH (Prophetic)
(Amido-amino alcohols as therapeutic compounds)

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)



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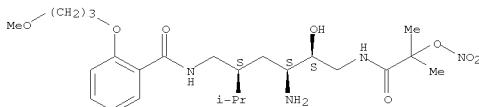
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THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

RN 1013922-29-6 CAPLUS
CN L-arabinno-Hexitol, 3-amino-1,3,4,5,6-pentadeoxy-6-[{2-(3-methoxypropoxy)benzoyl]amino]-5-(1-methylethyl)-1-[(2-methyl-2-(nitrooxy)-1-oxopropyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.

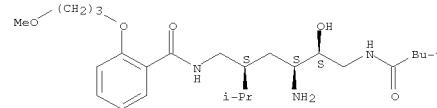


IT 861451-17-4
RL: PRPH (Prophetic); RCT (Reactant); RACT (Reactant or reagent)
(preparation of nitrate esters of aryl or heterocyclic aminodiols as
cardiovascular agents)
RN 861451-17-4 CAPLUS
CN L-arabinno-Hexitol, 1-amino-1,3,4,5,6-pentadeoxy-3-[[{(1,1-dimethylethoxy)carbonyl}amino]-6-[{2-(3-methoxypropoxy)benzoyl]amino]-5-(1-methylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RN 861450-97-7 CAPLUS
CN L-arabinno-Hexitol, 3-amino-1,3,4,5,6-pentadeoxy-1-[(2,2-dimethyl-1-oxopropyl)amino]-6-[{2-(3-methoxypropoxy)benzoyl]amino]-5-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

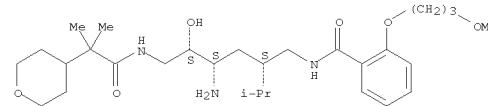
Absolute stereochemistry.



● HCl

RN 861450-98-8 CAPLUS
CN L-arabinno-Hexitol, 3-amino-1,3,4,5,6-pentadeoxy-6-[{2-(3-methoxypropoxy)benzoyl]amino]-5-(1-methylethyl)-1-[(2-methyl-1-oxo-2-tetrahydro-2H-pyran-4-yl)propyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

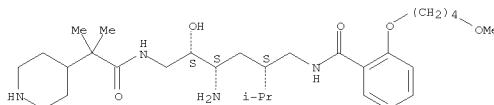
RN 861450-99-9 CAPLUS
CN L-arabinno-Hexitol, 3-amino-1,3,4,5,6-pentadeoxy-6-[{2-(4-methoxybutoxy)benzoyl]amino]-5-(1-methylethyl)-1-[(2-methyl-1-oxo-2-(4-piperidinyl)propyl]amino]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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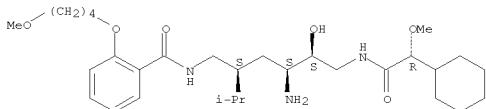
L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● 2 HCl

RN 861451-01-6 CAPLUS
CN L-arabinose-Hexitol, 3-amino-1-[(2R)-cyclohexylmethoxyacetyl]amino-1,3,4,5,6-pentadeoxy-6-[(2-(4-methoxybutoxy)benzoyl)amino]-5-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

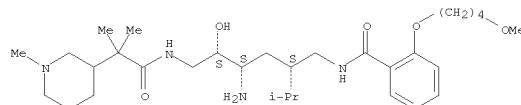


● HCl

RN 861451-02-7 CAPLUS
CN L-arabinose-Hexitol, 3-amino-1,3,4,5,6-pentadeoxy-6-[(2-(4-methoxybutoxy)benzoyl)amino]-5-(1-methylethyl)-1-[(2-methyl-2-(1-methyl-3-piperidinyl)-1-oxopropyl)amino]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

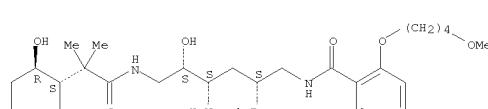
L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● 2 HCl

RN 861451-03-8 CAPLUS
CN L-arabinose-Hexitol, 3-amino-1,3,4,5,6-pentadeoxy-1-[(2-(1S,2R)-2-hydroxycyclohexyl)-2-methyl-1-oxopropyl]amino-6-[(2-(4-methoxybutoxy)benzoyl)amino]-5-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

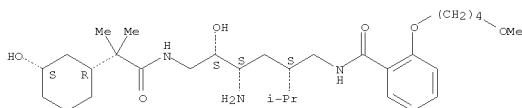


● HCl

RN 1057088-48-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

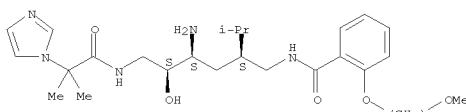
L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

RN 1057088-50-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

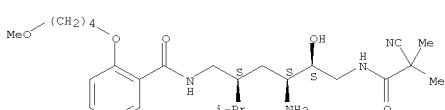
Absolute stereochemistry.



● 2 HCl

RN 1057088-51-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

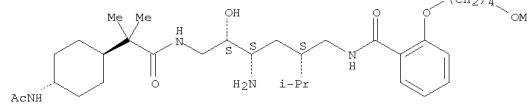


● HCl

RN 1057088-52-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

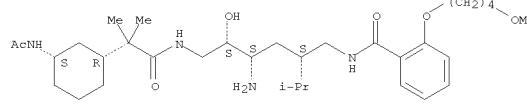
L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

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CN INDEX NAME NOT YET ASSIGNED

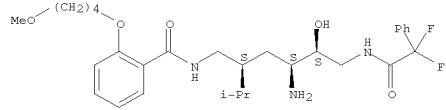
Absolute stereochemistry.



● HCl

RN 1057088-54-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



● HCl

RN 1057088-55-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

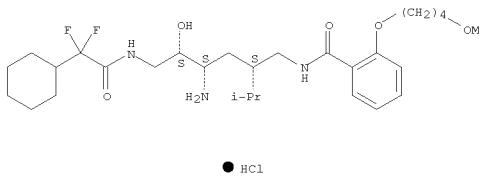
Absolute stereochemistry.

12/19/2008

10-587,150 entire scope.trn

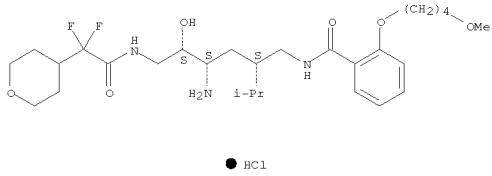
L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)



RN 1057088-56-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

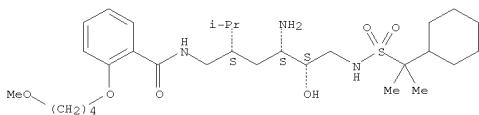
Absolute stereochemistry.



● HCl

RN 1057088-57-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

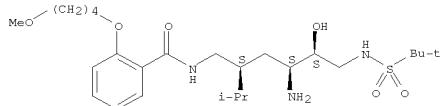


RN 1057088-58-0 CAPLUS

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
CN INDEX NAME NOT YET ASSIGNED

(Continued)

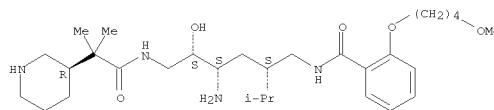
Absolute stereochemistry.



● HCl

RN 1057088-61-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



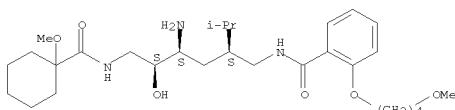
● Z HCl

RN 1057088-62-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

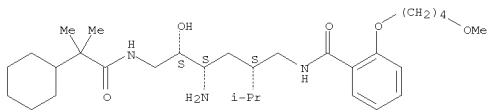
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● HCl

RN 1057088-65-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

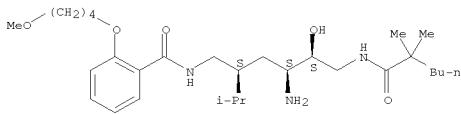
Absolute stereochemistry.



● HCl

RN 1057088-66-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



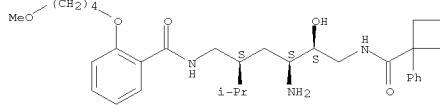
● HCl

RN 1057088-67-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

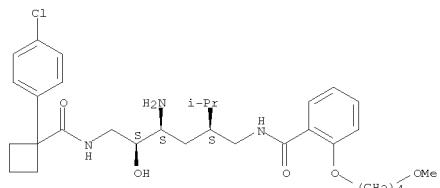
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● HCl

RN 1057088-68-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

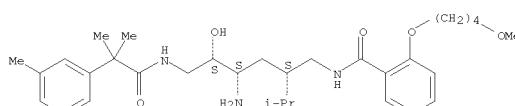
Absolute stereochemistry.



● HCl

RN 1057088-69-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



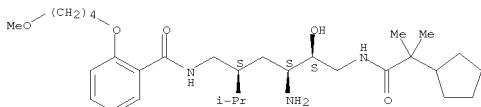
● HCl

12/19/2008

10-587,150 entire scope.trn

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RN 1057088-70-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

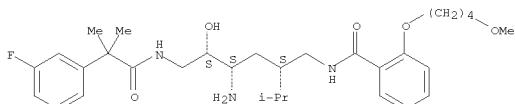
Absolute stereochemistry.



● HCl

RN 1057088-72-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

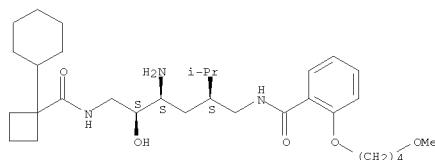


● HCl

RN 1057088-73-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

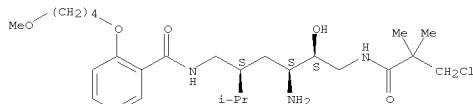
L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

RN 1057088-74-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

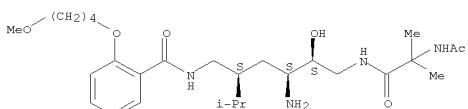


● HCl

RN 1057088-75-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

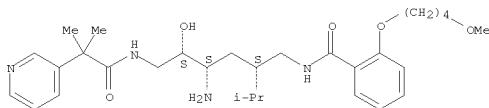
L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

RN 1057088-76-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

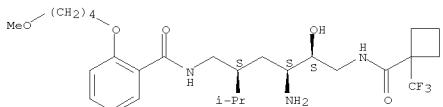
Absolute stereochemistry.



● 2 HCl

RN 1057088-77-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

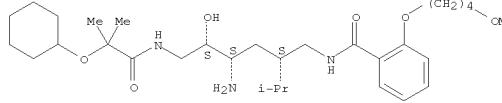


● HCl

RN 1057088-78-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

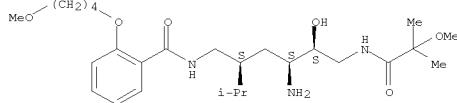
L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

RN 1057088-79-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

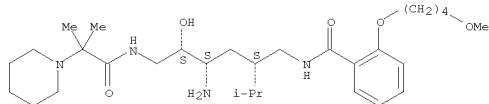
Absolute stereochemistry.



● HCl

RN 1057088-80-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



● 2 HCl

RN 1057088-81-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

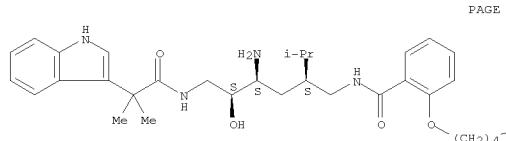
Absolute stereochemistry.

12/19/2008

10-587,150 entire scope.trn

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

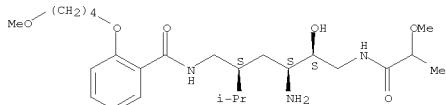
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● HCl

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

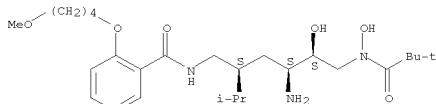
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● HCl

RN 1057088-84-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

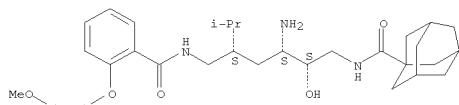
Absolute stereochemistry.



—OMe

RN 1057088-82-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



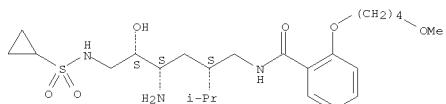
● HCl

RN 1057088-83-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 1057088-85-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



● HCl

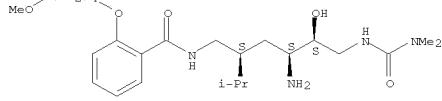
RN 1057088-88-6 CAPLUS

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)

CN INDEX NAME NOT YET ASSIGNED

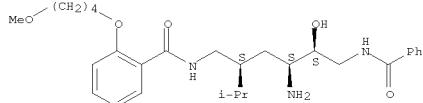
Absolute stereochemistry.



● HCl

RN 1057088-89-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

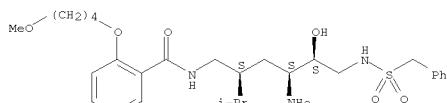
Absolute stereochemistry.



● HCl

RN 1057088-90-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



● HCl

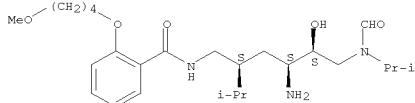
RN 1057088-91-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

PAGE 10

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)

Absolute stereochemistry.

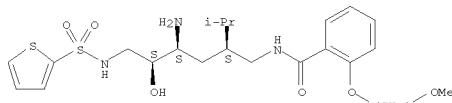


● HCl

RN 1057088-93-3 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

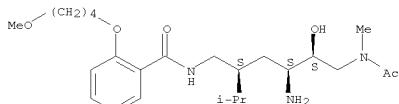


● HCl

RN 1057088-94-4 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

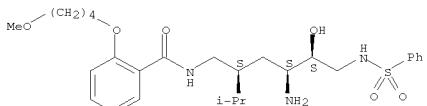


● HCl

RN 1057088-95-5 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

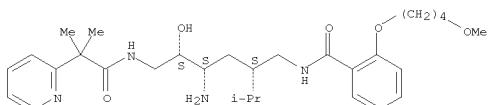
L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
Absolute stereochemistry.



● HCl

RN 1057088-97-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

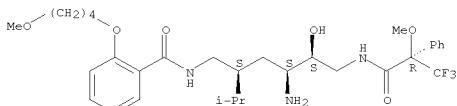
Absolute stereochemistry.



● 2 HCl

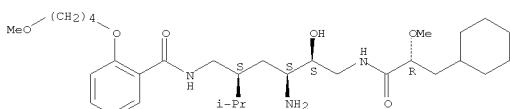
RN 1057088-98-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



● HCl

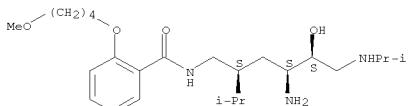
L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

RN 1057089-05-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

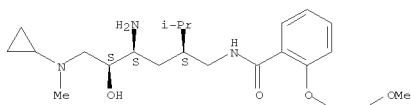
Absolute stereochemistry.



● 2 HCl

RN 1057089-07-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



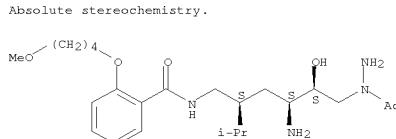
● 2 HCl

RN 1057089-08-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

(Continued)

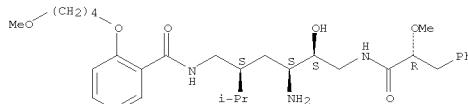
L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
Absolute stereochemistry.



● 2 HCl

RN 1057089-00-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

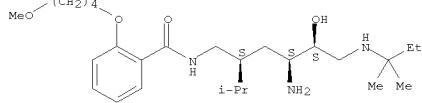


● HCl

RN 1057089-01-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

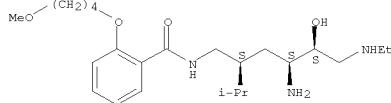
L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● 2 HCl

RN 1057089-09-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

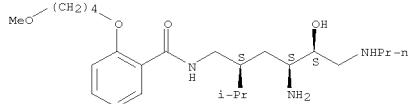
Absolute stereochemistry.



● 2 HCl

RN 1057089-10-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



● 2 HCl

RN 1057089-11-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

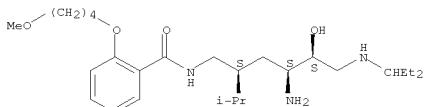
Absolute stereochemistry.

12/19/2008

10-587,150 entire scope.trn

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

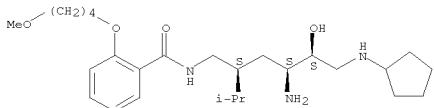
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● 2 HCl

RN 1057089-12-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

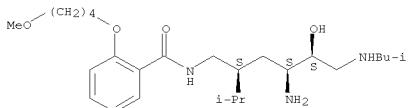
Absolute stereochemistry.



● 2 HCl

RN 1057089-15-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



● 2 HCl

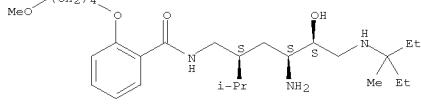
RN 1057089-16-3 CAPLUS

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

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CN INDEX NAME NOT YET ASSIGNED

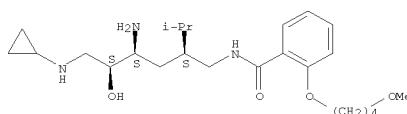
Absolute stereochemistry.



● 2 HCl

RN 1057089-17-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



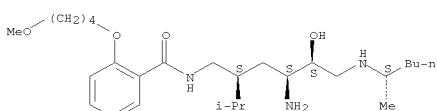
● 2 HCl

RN 1057089-19-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

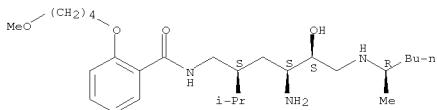
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● 2 HCl

RN 1057089-20-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

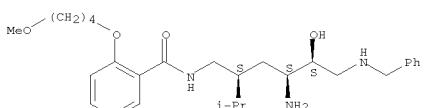
Absolute stereochemistry.



● 2 HCl

RN 1057089-22-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



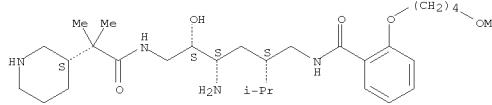
● 2 HCl

RN 1057089-23-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

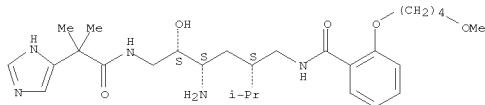
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● 2 HCl

RN 1057089-24-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

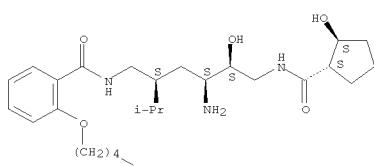
Absolute stereochemistry.



● HCl

RN 1057089-26-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



● HCl

RN 1057089-27-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

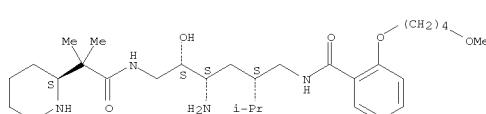
12/19/2008

10-587,150 entire scope.trn

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)

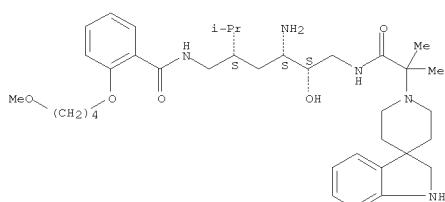
Absolute stereochemistry.



● 2 HCl

RN 1057089-29-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



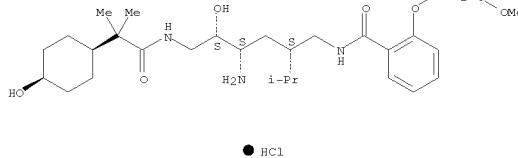
● 2 HCl

RN 1057089-30-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

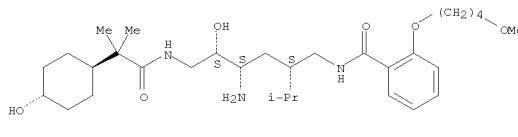
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● HCl

RN 1057089-31-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

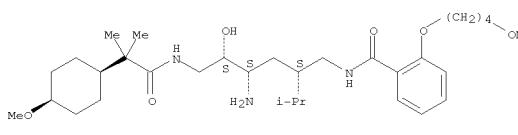
Absolute stereochemistry.



● HCl

RN 1057089-32-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



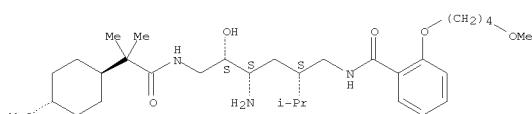
● HCl

RN 1057089-33-4 CAPLUS

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
CN INDEX NAME NOT YET ASSIGNED

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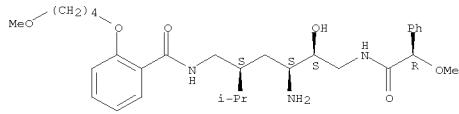
Absolute stereochemistry.



● HCl

RN 1057089-34-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

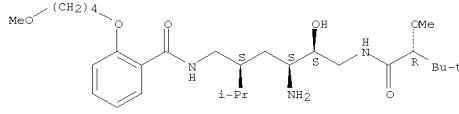
Absolute stereochemistry.



● HCl

RN 1057089-35-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



● HCl

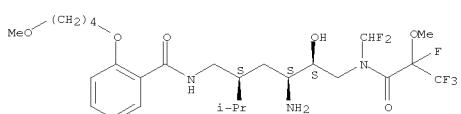
RN 1057089-36-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

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L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
CN INDEX NAME NOT YET ASSIGNED

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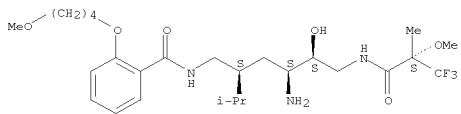
Absolute stereochemistry.



● HCl

RN 1057089-37-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

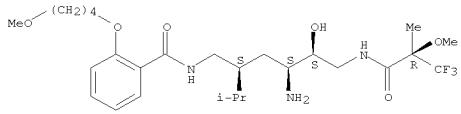
Absolute stereochemistry.



● HCl

RN 1057089-38-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

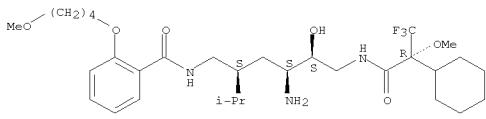
Absolute stereochemistry.



● HCl

RN 1057089-39-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

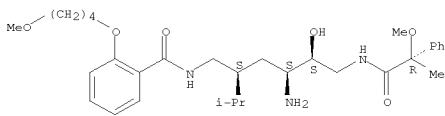
L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
Absolute stereochemistry.



● HCl

RN 1057089-40-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

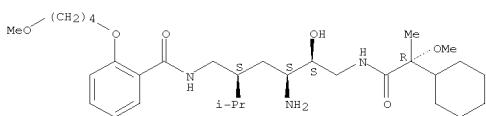
Absolute stereochemistry.



● HCl

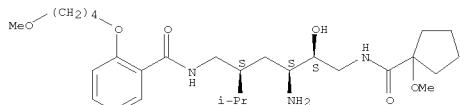
RN 1057089-41-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



● HCl

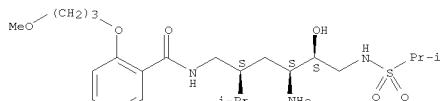
L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
Absolute stereochemistry.



● HCl

RN 1057089-43-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

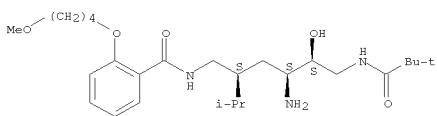


● HCl

RN 1057089-46-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

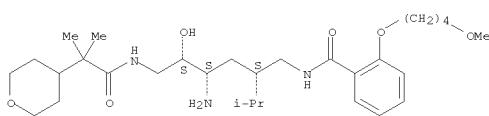
L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

RN 1057089-47-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

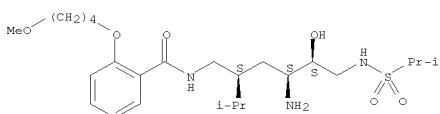
Absolute stereochemistry.



● HCl

RN 1057089-49-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

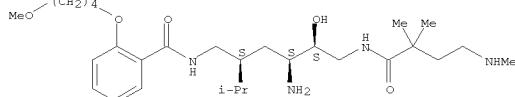


● HCl

RN 1057090-23-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

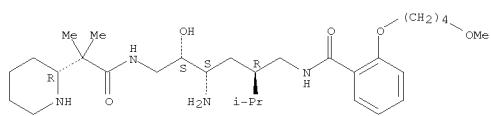
L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

RN 1057090-24-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

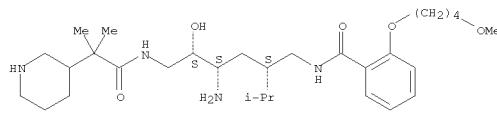
Absolute stereochemistry.



● 2 HCl

RN 1057090-25-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



● 2 HCl

RN 1057090-26-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

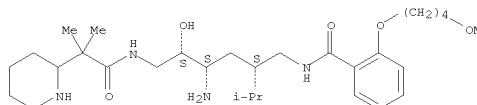
Absolute stereochemistry.

12/19/2008

10-587,150 entire scope.trn

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

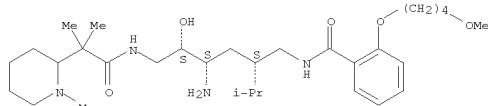
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● 2 HCl

RN 1057090-27-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

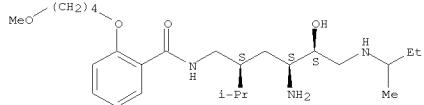
Absolute stereochemistry.



● 2 HCl

RN 1057090-29-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



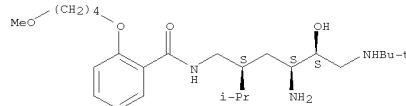
● 2 HCl

RN 1057090-30-8 CAPLUS

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
CN INDEX NAME NOT YET ASSIGNED

(Continued)

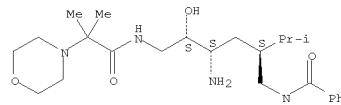
Absolute stereochemistry.



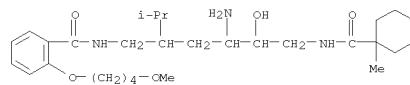
● 2 HCl

RN 1057090-31-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



● 2 HCl

RN 1069117-73-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

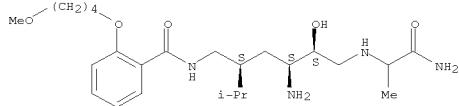
● 2 HCl

RN 1069117-95-8 CAPLUS

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
CN INDEX NAME NOT YET ASSIGNED

(Continued)

Absolute stereochemistry.



● 2 HCl

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007-81049 CAPLUS

DOCUMENT NUMBER: 146:162845

TITLE: Amidoaminoalcohols for treatment of Alzheimer's disease, malaria, or HIV infection.

INVENTOR(S): Herold, Peter; Stutz, Stefan; Tschinke, Vincenzo; Stojanovic, Aleksandar; Marti, Christiane; Quirmbach, Michael; Schumacher, Christoph

PATENT ASSIGNEE(S): Speedel Experimenta AG, Switz.

SOURCE: Eur. Pat. Appl. 22pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1745 777	A1	20070124	EP 2006-117473	20060719
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
			CH 2005-1211	A 20050720

PRIORITY APPLN. INFO.: OTHER SOURCE(S): MARPAT 146:162845

AB Use of EX2NHX1CR5R5CH2CH(NR5R4)CH(OH)CH2NR1R2 [R1 = H, OH, amino, (substituted) alkyl, cycloalkyl, alkanoyl, alkoxy carbonyl, aralkyl heterocyclylalkyl; R2 = (substituted) alkyl, cycloalkyl, alkylsulfonyl, aralkylsulfonyl, cycloalkylalkanoyl, alkanoyl, alkoxy carbonyl, etc.; R1R2N = (unsatd.) (substituted) 4-8 membered heterocyclyl; R3 = H, alkyl, alkoxy carbonyl, alkanoyl; R4 = H, alkyl, alkoxy carbonyl, alkanoyl; R5 = H, alkyl; CR5R5 = C3-8 cycloalkylidene; 1 of X1, X2 = CO, the other = CH2], for the preparation of a medicament for inhibition of β -secretase, cathepsin D, plasminogen II, and/or HIV protease, is claimed (no data).IT 861450-97-7 861450-98-8 861450-99-9
861451-01-6 861451-03-8 1057088-48-8
1057088-50-2 1057088-51-3 1057088-52-4
1057088-53-5 1057088-54-6 1057088-55-7
1057088-56-8 1057088-57-9 1057088-58-0
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1057088-62-6 1057088-65-7 1057088-66-0
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1057088-70-6 1057088-71-7 1057088-72-8
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1057088-76-2 1057088-77-3 1057088-78-4
1057088-79-5 1057088-80-1 1057088-81-9
1057088-82-0 1057088-83-1 1057088-84-2
1057088-85-3 1057088-88-1 1057088-89-7
1057088-90-0 1057088-91-1 1057088-93-3
1057088-94-4 1057088-95-5 1057088-97-7
1057088-98-8 1057088-99-5 1057089-00-5
1057089-01-6 1057089-02-7 1057089-04-9
1057089-05-0 1057089-06-7 1057089-07-2
1057089-08-3 1057089-09-1 1057089-10-7
1057089-11-8 1057089-12-0 1057089-15-2
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1057089-20-9 1057089-22-1 1057089-23-2

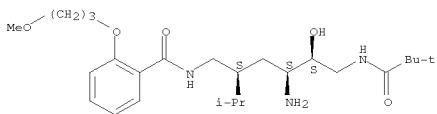
L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
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 1057089-27-6 1057089-28-7 1057089-29-8
 1057089-31-1 1057089-31-2 1057089-32-3
 1057089-33-4 1057089-34-5 1057089-35-6
 1057089-36-7 1057089-37-8 1057089-38-9
 1057089-39-0 1057089-40-3 1057089-41-4
 1057089-42-5 1057089-43-6 1057089-46-9
 1057089-47-0 1057089-49-2 1057089-55-0
 1057089-56-1 1057089-57-2 1069117-73-2
 1069117-95-8

RL: PRPH (Prophetic)
 (Amidoaminoalcohols for treatment of Alzheimer's disease, malaria, or
 HIV infection.)

RN 861450-97-7 CAPLUS
 CN L-arabino-Hexitol, 3-amino-1,3,4,5,6-pentadeoxy-1-[2-(2-dimethyl-1-

oxopropyl)amino]-6-[(2-(3-methoxypropoxy)benzoyl)amino]-5-(1-methylethyl)-
 , monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

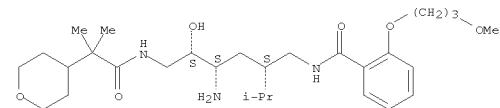


● HCl

RN 861450-98-8 CAPLUS
 CN L-arabino-Hexitol, 3-amino-1,3,4,5,6-pentadeoxy-6-[(2-(3-
 methoxypropoxy)benzoyl)amino]-5-(1-methylethyl)-1-[(2-methyl-1-oxo-2-
 (tetrahydro-2H-pyran-4-yl)propyl)amino]-, monohydrochloride (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.

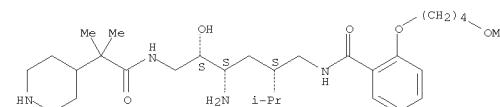
L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

RN 861450-99-9 CAPLUS
 CN L-arabino-Hexitol, 3-amino-1,3,4,5,6-pentadeoxy-6-[(2-(4-
 methoxybutoxy)benzoyl)amino]-5-(1-methylethyl)-1-[(2-methyl-1-oxo-2-(4-
 piperidinyl)propyl)amino]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

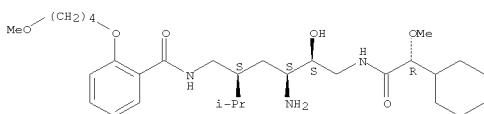


● 2 HCl

RN 861451-01-6 CAPLUS
 CN L-arabino-Hexitol, 3-amino-1-[(2R)-cyclohexylmethoxyacetyl]amino-
 1,3,4,5,6-pentadeoxy-6-[(2-(4-methoxybutoxy)benzoyl)amino]-5-(1-
 methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

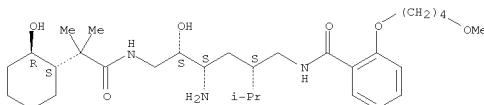
L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

RN 861451-03-8 CAPLUS
 CN L-arabino-Hexitol, 3-amino-1,3,4,5,6-pentadeoxy-1-[(2-[(1S,2R)-2-
 hydroxycyclohexyl]-2-methyl-1-oxopropyl)amino]-6-[(2-(4-
 methoxybutoxy)benzoyl)amino]-5-(1-methylethyl)-, monohydrochloride (9CI)
 (CA INDEX NAME)

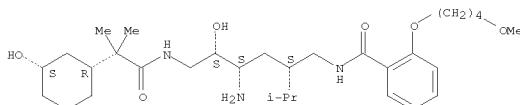
Absolute stereochemistry.



● HCl

RN 1057088-48-8 CAPLUS
 CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



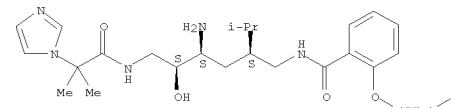
● HCl

RN 1057088-50-2 CAPLUS
 CN INDEX NAME NOT YET ASSIGNED

Page 16

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

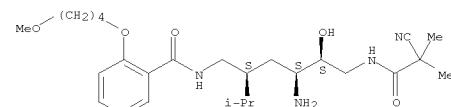
Absolute stereochemistry.



● 2 HCl

RN 1057088-51-3 CAPLUS
 CN INDEX NAME NOT YET ASSIGNED

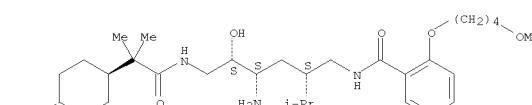
Absolute stereochemistry.



● HCl

RN 1057088-52-4 CAPLUS
 CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



● HCl

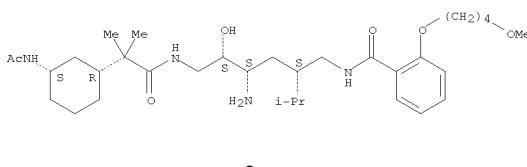
RN 1057088-53-5 CAPLUS
 CN INDEX NAME NOT YET ASSIGNED

12/19/2008

10-587,150 entire scope.trn

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
Absolute stereochemistry.

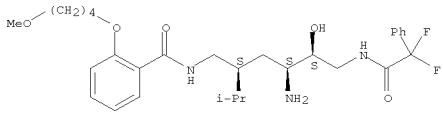
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● HCl

RN 1057088-54-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

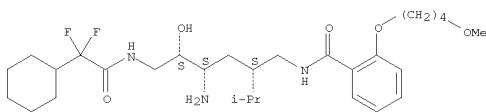
Absolute stereochemistry.



● HCl

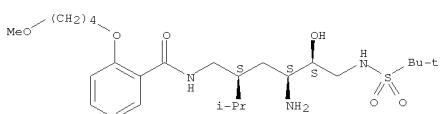
RN 1057088-55-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



● HCl

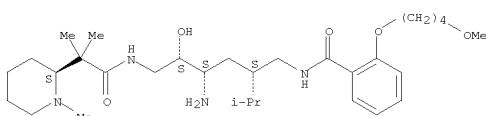
L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

RN 1057088-59-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

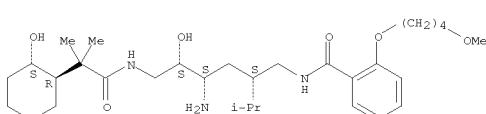
Absolute stereochemistry.



● 2 HCl

RN 1057088-60-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

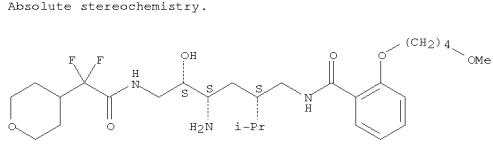


● HCl

RN 1057088-61-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

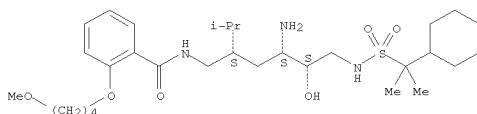
L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
Absolute stereochemistry.



● HCl

RN 1057088-57-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



● HCl

RN 1057088-58-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

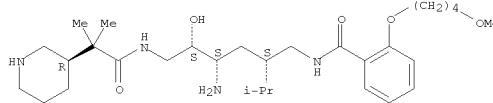
Absolute stereochemistry.



● HCl

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

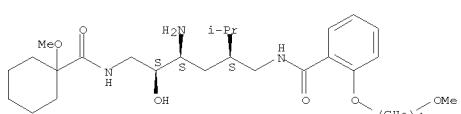
L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● Z HCl

RN 1057088-62-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

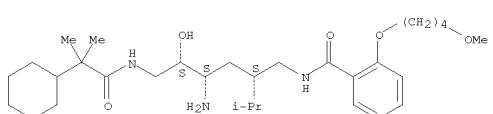
Absolute stereochemistry.



● HCl

RN 1057088-65-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



● HCl

RN 1057088-66-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

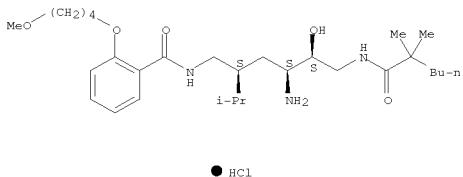
Absolute stereochemistry.

12/19/2008

10-587,150 entire scope.trn

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

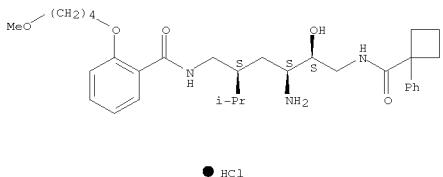
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● HCl

RN 1057088-67-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



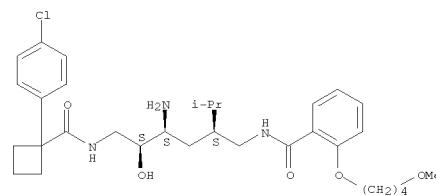
● HCl

RN 1057088-68-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

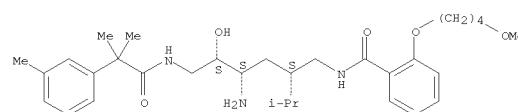
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● HCl

RN 1057088-69-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



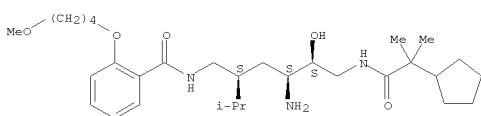
● HCl

RN 1057088-70-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

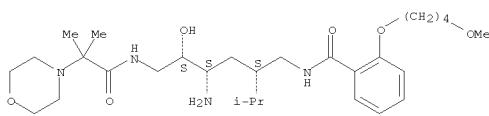
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● HCl

RN 1057088-71-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

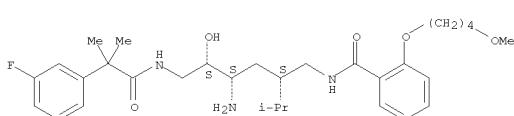
Absolute stereochemistry.



● 2 HCl

RN 1057088-72-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



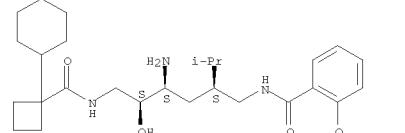
● HCl

RN 1057088-73-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

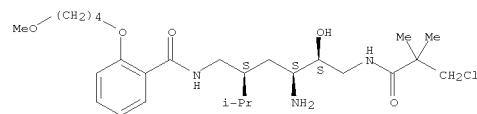
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● HCl

RN 1057088-74-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

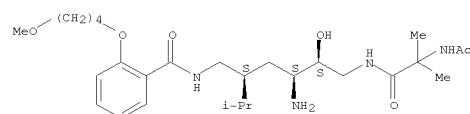
Absolute stereochemistry.



● HCl

RN 1057088-75-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

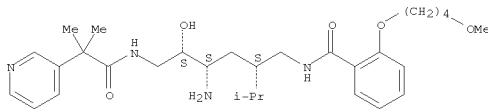
Absolute stereochemistry.



● HCl

RN 1057088-76-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

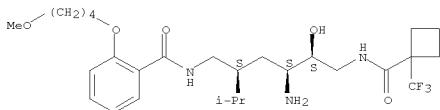
L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
 Absolute stereochemistry.



● 2 HCl

RN 1057088-77-3 CAPLUS
 CN INDEX NAME NOT YET ASSIGNED

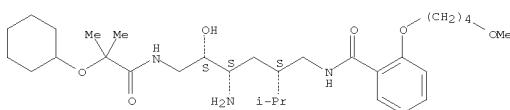
Absolute stereochemistry.



● HCl

RN 1057088-78-4 CAPLUS
 CN INDEX NAME NOT YET ASSIGNED

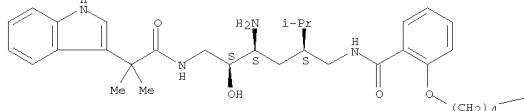
Absolute stereochemistry.



● HCl

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-A



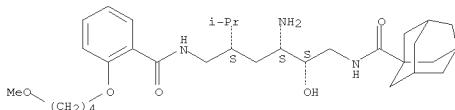
● HCl

PAGE 1-B

—OMe

RN 1057088-82-0 CAPLUS
 CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



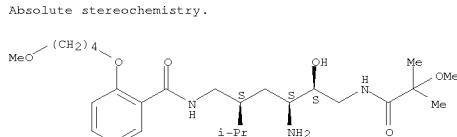
● HCl

RN 1057088-83-1 CAPLUS
 CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

(Continued)

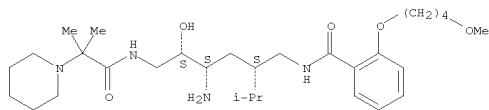
L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
 Absolute stereochemistry.



● HCl

RN 1057088-80-8 CAPLUS
 CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



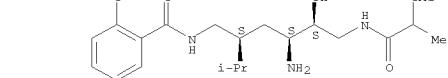
● 2 HCl

RN 1057088-81-9 CAPLUS
 CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

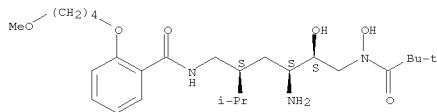
PAGE 1-A



● HCl

RN 1057088-84-2 CAPLUS
 CN INDEX NAME NOT YET ASSIGNED

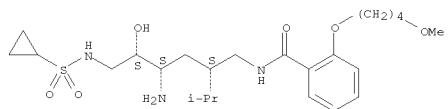
Absolute stereochemistry.



● HCl

RN 1057088-85-3 CAPLUS
 CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



● HCl

RN 1057088-88-6 CAPLUS
 CN INDEX NAME NOT YET ASSIGNED

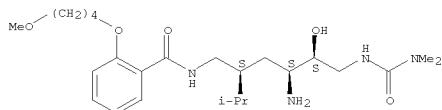
Absolute stereochemistry.

12/19/2008

10-587,150 entire scope.trn

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

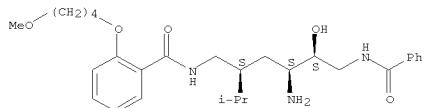
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● HCl

RN 1057088-89-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

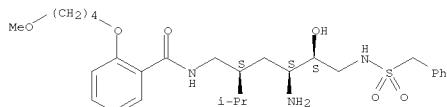
Absolute stereochemistry.



● HCl

RN 1057088-90-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



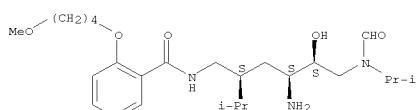
● HCl

RN 1057088-91-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)

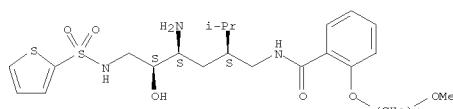
Absolute stereochemistry.



● HCl

RN 1057088-93-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

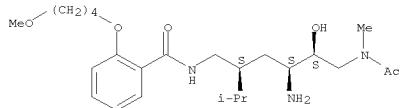
Absolute stereochemistry.



● HCl

RN 1057088-94-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



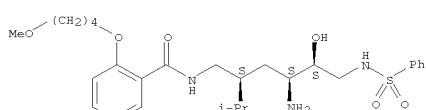
● HCl

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)

RN 1057088-95-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

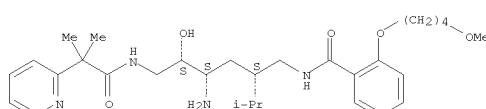
Absolute stereochemistry.



● HCl

RN 1057088-97-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

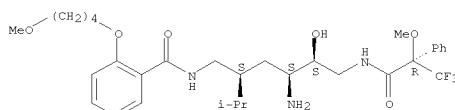
Absolute stereochemistry.



● 2 HCl

RN 1057088-98-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



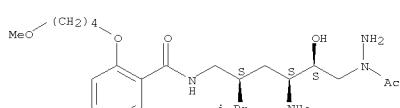
● HCl

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)

RN 1057088-99-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

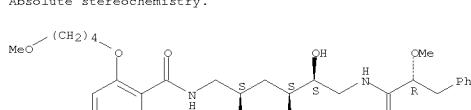
Absolute stereochemistry.



● 2 HCl

RN 1057089-00-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

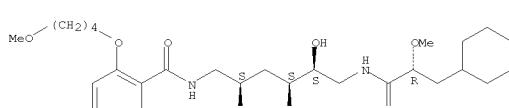
Absolute stereochemistry.



● HCl

RN 1057089-01-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



● HCl

RN 1057089-02-7 CAPLUS

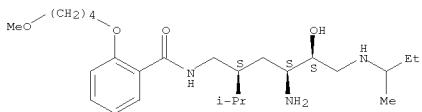
12/19/2008

10-587,150 entire scope.trn

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
CN INDEX NAME NOT YET ASSIGNED

(Continued)

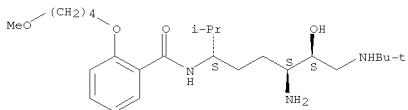
Relative stereochemistry.



● 2 HCl

RN 1057089-04-9 CAPLUS
CN Benzamide,
N-[{(1S,4S,5S)-4-amino-6-[(1,1-dimethylethyl)amino]-5-hydroxy-1-(1-methylethyl)hexyl]-2-(4-methoxybutoxy}-, hydrochloride (1:2) (CA INDEX NAME)

Absolute stereochemistry.



● 2 HCl

RN 1057089-05-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

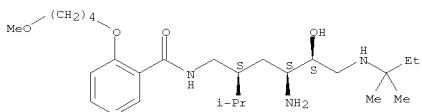
Absolute stereochemistry.

● 2 HCl

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
CN INDEX NAME NOT YET ASSIGNED

(Continued)

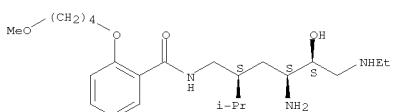
Absolute stereochemistry.



● 2 HCl

RN 1057089-09-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

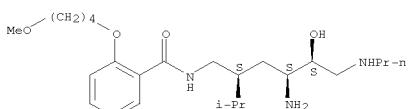
Absolute stereochemistry.



● 2 HCl

RN 1057089-10-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



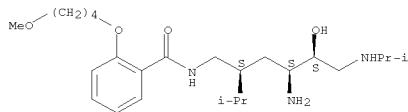
● 2 HCl

RN 1057089-11-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

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L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

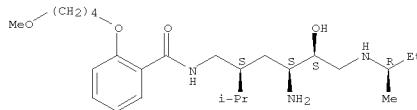
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● 2 HCl

RN 1057089-06-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

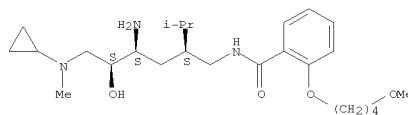
Absolute stereochemistry.



● 2 HCl

RN 1057089-07-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



● 2 HCl

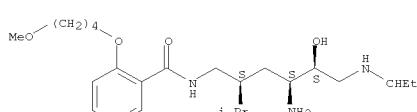
RN 1057089-08-3 CAPLUS

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
CN INDEX NAME NOT YET ASSIGNED

(Continued)

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
CN INDEX NAME NOT YET ASSIGNED

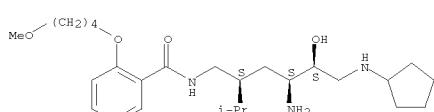
Absolute stereochemistry.



● 2 HCl

RN 1057089-12-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

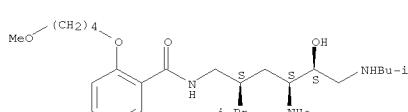
Absolute stereochemistry.



● 2 HCl

RN 1057089-15-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

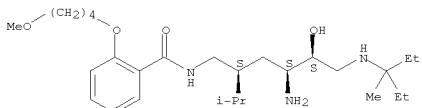
Absolute stereochemistry.



● 2 HCl

RN 1057089-16-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

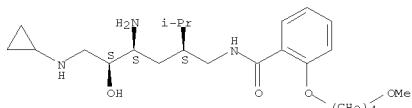
L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
Absolute stereochemistry.



● 2 HCl

RN 1057089-17-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

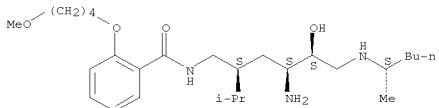
Absolute stereochemistry.



● 2 HCl

RN 1057089-19-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

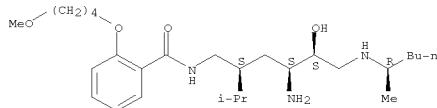
Absolute stereochemistry.



● 2 HCl

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
RN 1057089-20-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

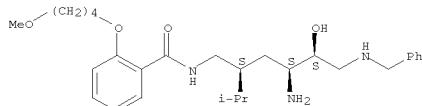
Absolute stereochemistry.



● 2 HCl

RN 1057089-22-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

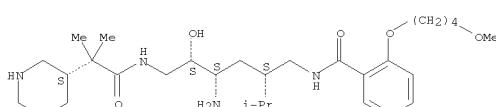


● 2 HCl

RN 1057089-23-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

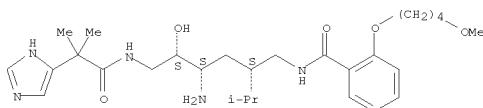
L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● 2 HCl

RN 1057089-24-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

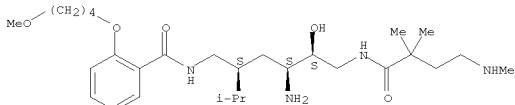
Absolute stereochemistry.



● HCl

RN 1057089-25-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

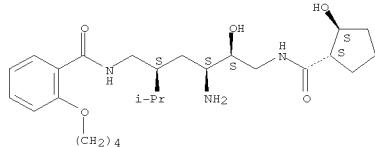


● 2 HCl

RN 1057089-26-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

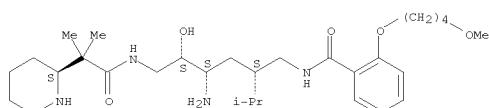
L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

RN 1057089-27-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

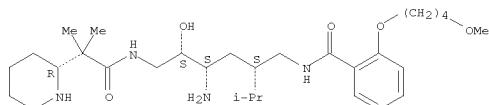
Absolute stereochemistry.



● 2 HCl

RN 1057089-28-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



● 2 HCl

RN 1057089-29-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

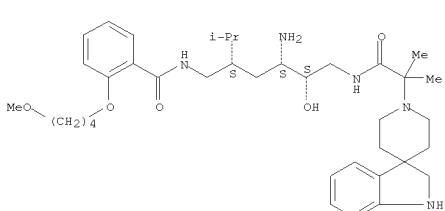
12/19/2008

10-587,150 entire scope.trn

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)

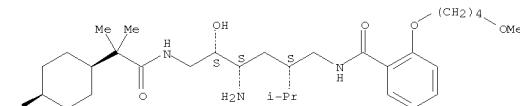
Absolute stereochemistry.



● HCl

RN 1057089-30-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



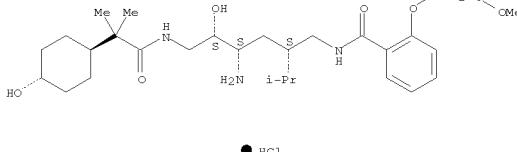
● HCl

RN 1057089-31-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

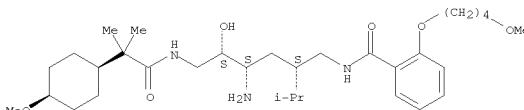
Absolute stereochemistry.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

CN INDEX NAME NOT YET ASSIGNED

RN 1057089-32-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

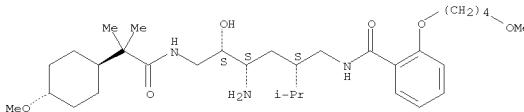
Absolute stereochemistry.



● HCl

RN 1057089-33-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

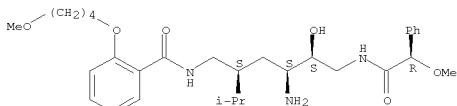


● HCl

RN 1057089-34-5 CAPLUS

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
CN INDEX NAME NOT YET ASSIGNED

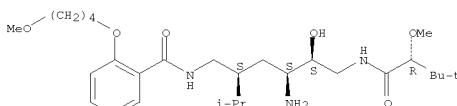
Absolute stereochemistry.



● HCl

RN 1057089-35-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

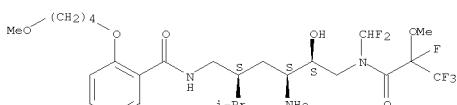
Absolute stereochemistry.



● HCl

RN 1057089-36-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



● HCl

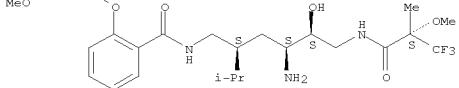
RN 1057089-37-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

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L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

CN INDEX NAME NOT YET ASSIGNED

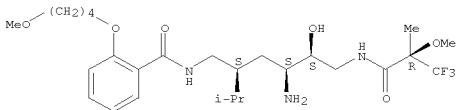
Absolute stereochemistry.



● HCl

RN 1057089-38-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

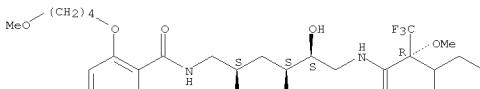
Absolute stereochemistry.



● HCl

RN 1057089-39-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

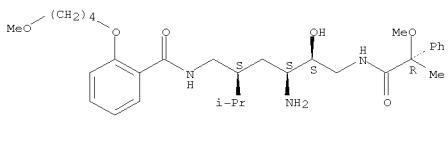
Absolute stereochemistry.



● HCl

RN 1057089-40-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

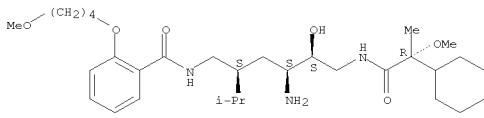
L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
Absolute stereochemistry.



● HCl

RN 1057089-41-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

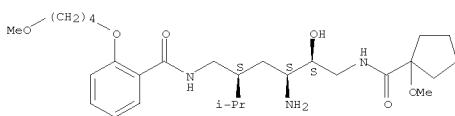
Absolute stereochemistry.



● HCl

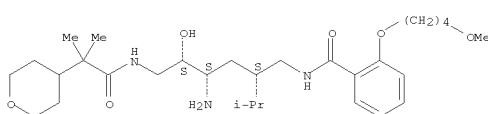
RN 1057089-42-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



● HCl

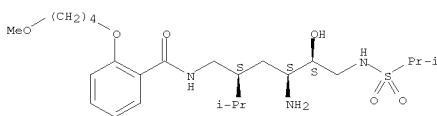
L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

RN 1057089-49-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

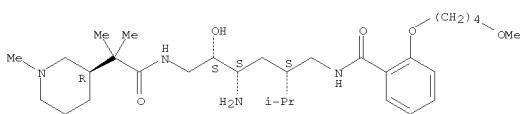
Absolute stereochemistry.



● HCl

RN 1057089-55-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

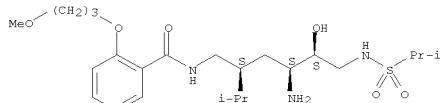


● 2 HCl

RN 1057089-56-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

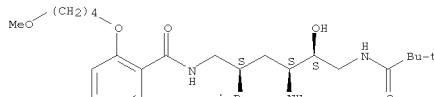
L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
Absolute stereochemistry.



● HCl

RN 1057089-46-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



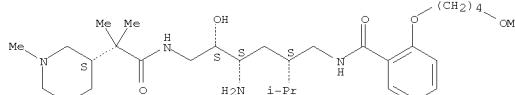
● HCl

RN 1057089-47-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

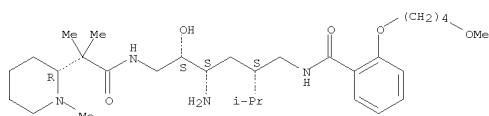
L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● 2 HCl

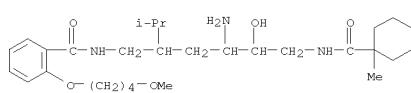
RN 1057089-57-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



● 2 HCl

RN 1069117-73-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



● HCl

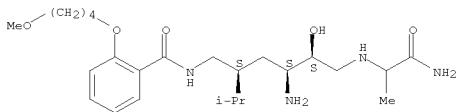
RN 1069117-95-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

12/19/2008

10-587,150 entire scope.trn

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● 2 HCl

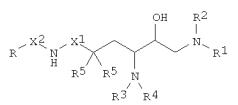
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:696864 CAPLUS
DOCUMENT NUMBER: 143:172542
TITLE: Preparation of diamino alcohols as renin inhibitors
INVENTOR(S): Herold, Peter; Stutz, Stefan; Stojanovic, Aleksandar;
Tschinke, Vincenzo; Marti, Christiane; Quirmbach,
Michael
PATENT ASSIGNEE(S): Speedel Experimenta A.-G., Switz.
SOURCE: PCT Int. Appl., 49 pp.
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005070871	A2	20050804	WO 2005-EP50274	20050121
WO 2005070871	A3	20050922		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SV, TJ, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BN, CH, CM, KE, LS, MW, NA, SD, SL, SZ, TZ, UC, ZM, ZW, AM, AZ, BX, KG, KZ, MD, RU, TJ, TM, AI, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2553840	A1	20050804	CA 2005-2553840	20050121
CN 1910135	A	20070207	CN 2005-80003052	20050121
BR 2005006491	A	20070213	BR 2005-6491	20050121
EP 1756037	A2	20070228	EP 2005-716591	20050121
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2007520487	T	20070726	JP 2006-550178	20050121
IN 2006DN04191	A	20070713	IN 2006-DN4191	20060720
US 20070155743	A1	20070705	US 2006-587150	20060724
PRIORITY APPLN. INFO.:			CH 2004-96	A 20040123
			CH 2004-9604	A 20040123
			WO 2005-EP50274	W 20050121

OTHER SOURCE(S): CASREACT 143:172542; MARPAT 143:172542
GI

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



I

AB Title compds. I [R1 = H, OH, amino, etc.; R2 = alkyl, cycloalkyl, alkylsulfonyl, etc.; R3-4 = H, alkyl, alkoxyacarbonyl, etc.; R5 = H, alkyl, etc.; one of X1 and X2 = CO and the other = CH2; R = (un)substituted unsatd. carbocyclic or heterocyclic radical] are prepared. For instance,

II is prepared in several steps from Me (2S,4S)-4-benzoyloxyethyl-2-(text-butoxycarbonylamino)-5-methylhexanecarboxylate and 2-(3-methoxypropoxy)benzoic acid. I are renin inhibitors [no data] useful for the treatment of hypertension, heart failure, glaucoma, cardiac infarction, kidney failure or restenosis.

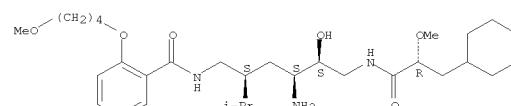
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L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

1044676-26-7 1044676-27-8 1044676-28-9
1044676-29-0 1044676-30-3 1044676-32-5
1044676-34-7 1044676-35-8 1044676-36-9
1069117-73-2

RL: PRPH (Prophetic)
(Preparation of diamino alcohols as renin inhibitors)
RN 1044675-28-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

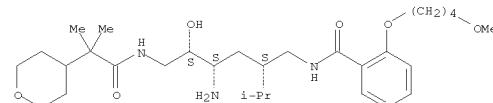
Relative stereochemistry.



● HCl

RN 1044675-31-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



● HCl

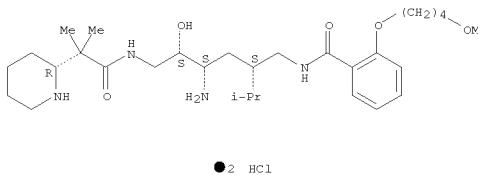
RN 1044675-33-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.

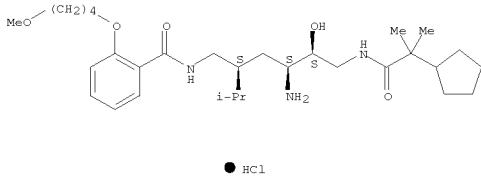
12/19/2008

10-587,150 entire scope.trn

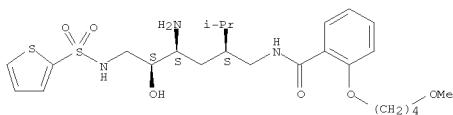
L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 1044675-34-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.

RN 1044675-36-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

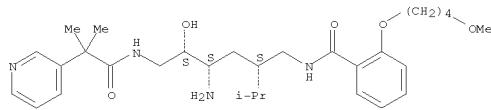
Relative stereochemistry.



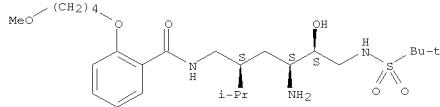
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L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.

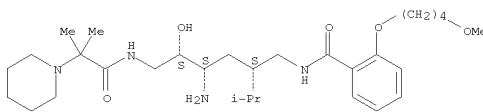
RN 1044675-39-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.

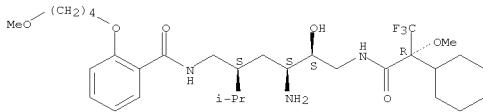
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CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.

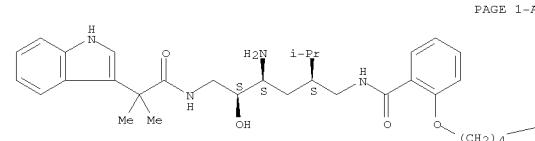
L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 1044675-41-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.

RN 1044675-44-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.

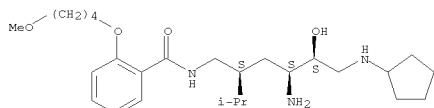


L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

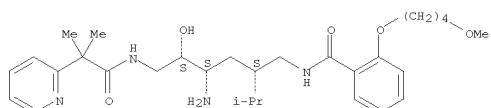
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RN 1044675-45-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.

RN 1044675-48-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.

RN 1044675-49-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

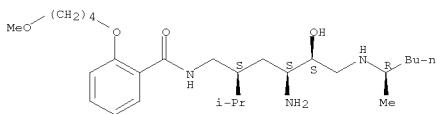
Relative stereochemistry.

12/19/2008

10-587,150 entire scope.trn

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

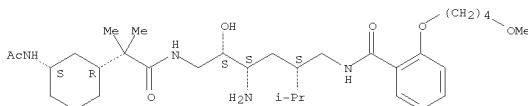
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● 2 HCl

RN 1044675-50-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

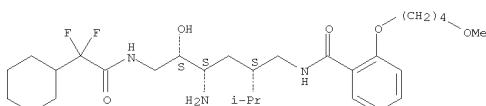
Relative stereochemistry.



● HCl

RN 1044675-52-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



● HCl

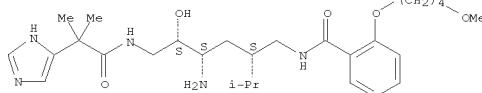
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L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)

CN INDEX NAME NOT YET ASSIGNED

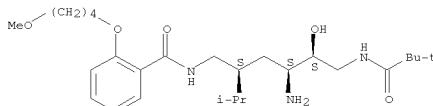
Relative stereochemistry.



● HCl

RN 1044675-56-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



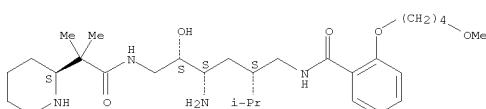
● HCl

RN 1044675-58-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

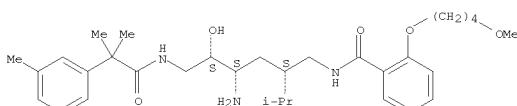
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● 2 HCl

RN 1044675-60-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

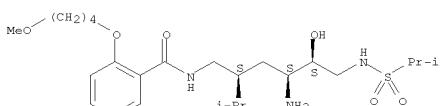
Relative stereochemistry.



● HCl

RN 1044675-61-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



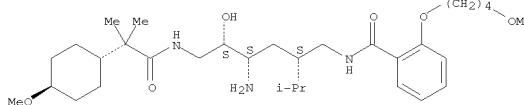
● HCl

RN 1044675-62-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

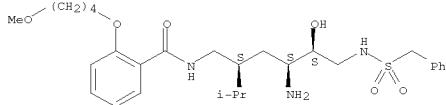
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● HCl

RN 1044675-63-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

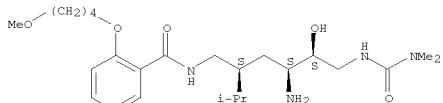
Relative stereochemistry.



● HCl

RN 1044675-65-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



● HCl

RN 1044675-66-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

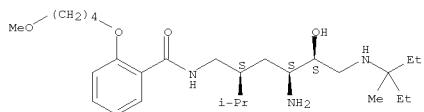
Relative stereochemistry.

12/19/2008

10-587,150 entire scope.trn

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

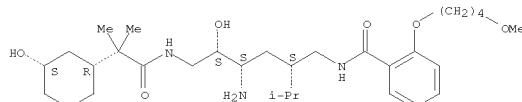
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● 2 HCl

RN 1044675-67-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

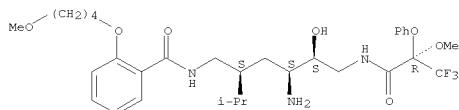
Relative stereochemistry.



● HCl

RN 1044675-68-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



● HCl

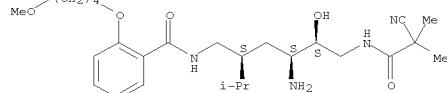
RN 1044675-69-5 CAPLUS

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)

CN INDEX NAME NOT YET ASSIGNED

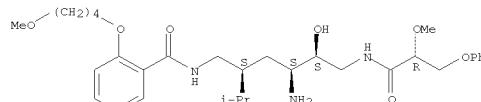
Relative stereochemistry.



● HCl

RN 1044675-70-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.

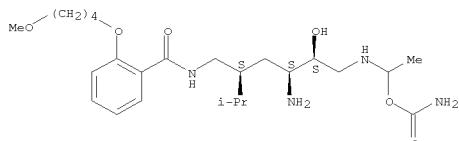


● HCl

RN 1044675-71-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.

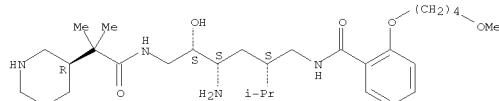
L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● 2 HCl

RN 1044675-72-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

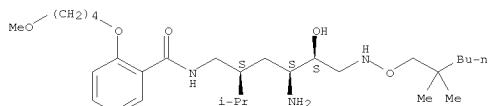
Relative stereochemistry.



● 2 HCl

RN 1044675-73-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

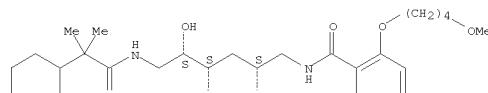
Relative stereochemistry.



● HCl

RN 1044675-75-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

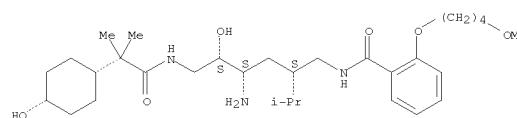
L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

RN 1044675-79-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

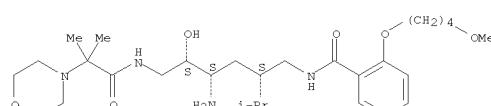
Relative stereochemistry.



● HCl

RN 1044675-80-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



● 2 HCl

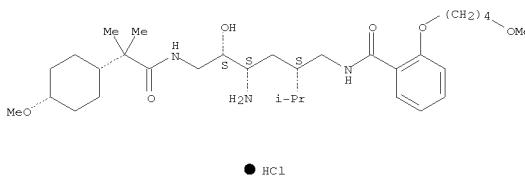
RN 1044675-81-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.

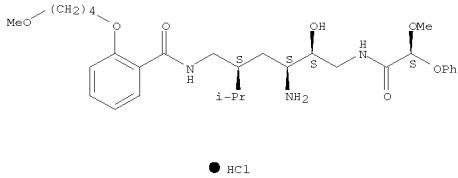
12/19/2008

10-587,150 entire scope.trn

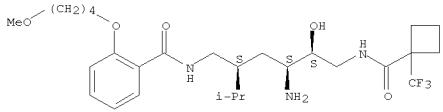
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RN 1044675-82-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.

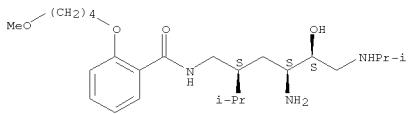
RN 1044675-83-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



RN 1044675-85-5 CAPLUS

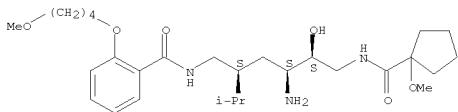
L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



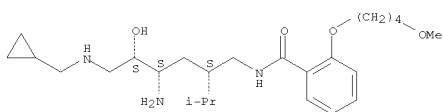
● 2 HCl

RN 1044675-90-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.

RN 1044675-92-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



● 2 HCl

RN 1044675-93-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

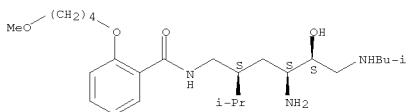
Relative stereochemistry.

12/19/2008

10-587,150 entire scope.trn

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

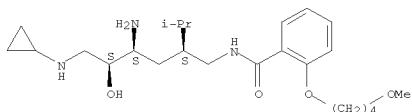
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● 2 HCl

RN 1044675-99-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

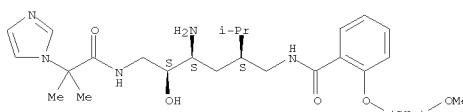
Relative stereochemistry.



● 2 HCl

RN 1044676-01-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



● 2 HCl

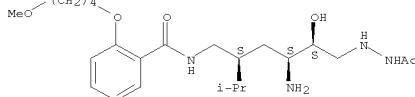
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L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)

CN INDEX NAME NOT YET ASSIGNED

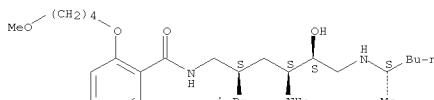
Relative stereochemistry.



● 2 HCl

RN 1044676-04-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



● 2 HCl

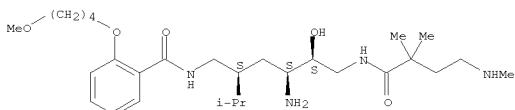
RN 1044676-05-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 1044676-06-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

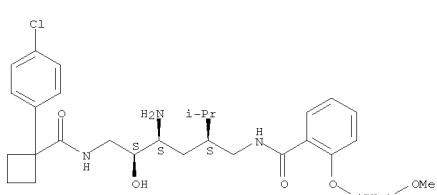
Relative stereochemistry.



● 2 HCl

RN 1044676-08-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.

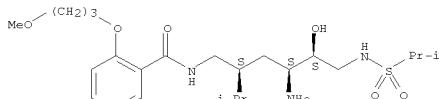


● 2 HCl

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 1044676-09-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

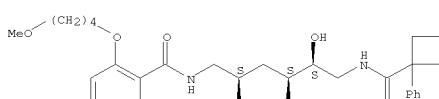
Relative stereochemistry.



● 2 HCl

RN 1044676-10-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

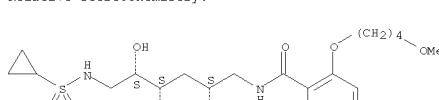
Relative stereochemistry.



● 2 HCl

RN 1044676-11-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



● 2 HCl

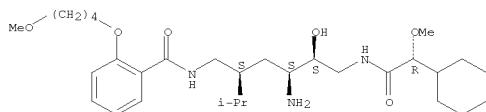
12/19/2008

10-587,150 entire scope.trn

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
RN 1044676-12-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

(Continued)

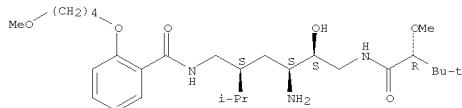
Relative stereochemistry.



● HCl

RN 1044676-14-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

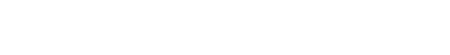
Relative stereochemistry.



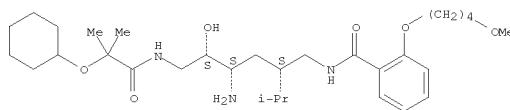
● HCl

RN 1044676-15-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



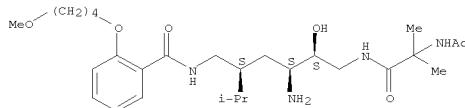
L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

RN 1044676-16-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

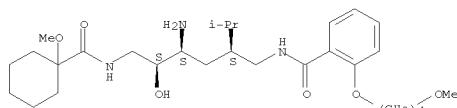
Relative stereochemistry.



● HCl

RN 1044676-18-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.

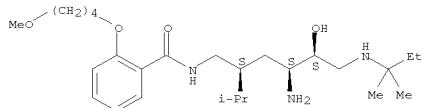


● HCl

RN 1044676-19-8 CAPLUS

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
CN INDEX NAME NOT YET ASSIGNED

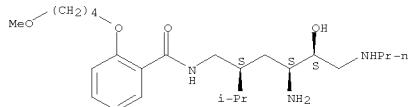
Relative stereochemistry.



● 2 HCl

RN 1044676-20-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

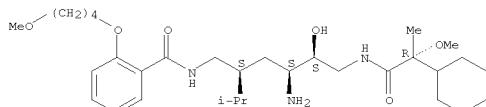
Relative stereochemistry.



● 2 HCl

RN 1044676-21-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.

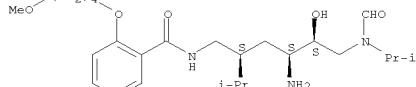


● HCl

RN 1044676-22-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

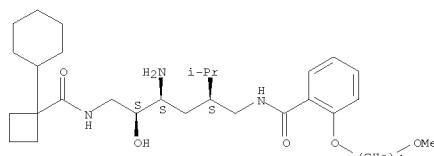
Relative stereochemistry.



● HCl

RN 1044676-24-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

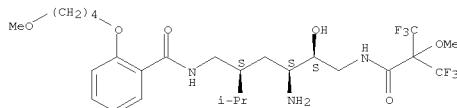
Relative stereochemistry.



● HCl

RN 1044676-26-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



● HCl

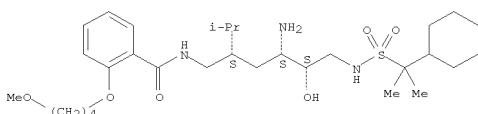
12/19/2008

10-587,150 entire scope.trn

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
RN 1044676-27-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

(Continued)

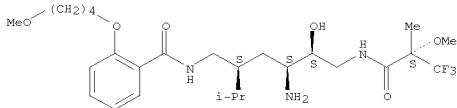
Relative stereochemistry.



● HCl

RN 1044676-28-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



● HCl

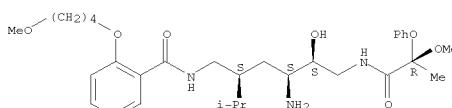
RN 1044676-29-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
RN 1044676-30-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

(Continued)

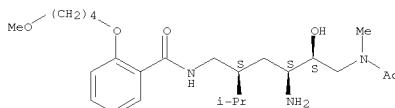
Relative stereochemistry.



● HCl

RN 1044676-32-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



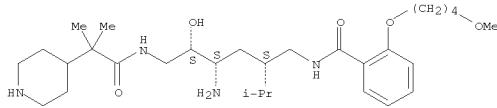
● HCl

RN 1044676-34-7 CAPLUS

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
CN INDEX NAME NOT YET ASSIGNED

(Continued)

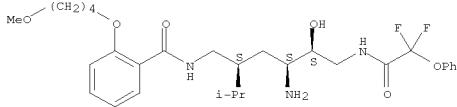
Relative stereochemistry.



● 2 HCl

RN 1044676-35-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

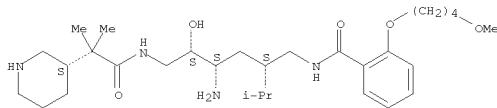
Relative stereochemistry.



● HCl

RN 1044676-36-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.

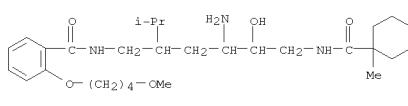


● 2 HCl

RN 1069117-73-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
CN INDEX NAME NOT YET ASSIGNED

(Continued)



● HCl

IT 861450-97-7P 861450-98-8P 861450-99-9P
861451-00-5P 861451-01-6P 861451-02-7P
861451-03-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

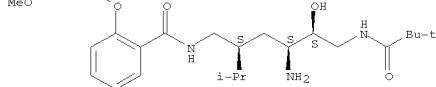
(preparation of diamino alcs. as renin inhibitors)

RN 861450-97-7
861451-00-5
861451-01-6
861451-02-7
861451-03-8
RN: L-arabino-Hexitol, 3-amino-1,3,4,5,6-pentadeoxy-1-[(2,2-dimethyl-1-

oxopropyl)amino]-6-[[2-(3-methoxypropoxy)benzoyl]amino]-5-(1-methylethyl)-

, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

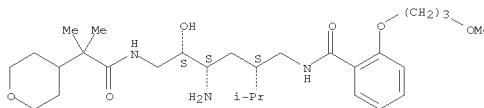


● HCl

RN 861450-98-8 CAPLUS
CN L-arabino-Hexitol, 3-amino-1,3,4,5,6-pentadeoxy-6-[[2-(3-methoxypropoxy)benzoyl]amino]-5-(1-methylethyl)-1-[[2-methyl-1-oxo-2-(tetrahydro-2H-pyran-4-yl)propyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

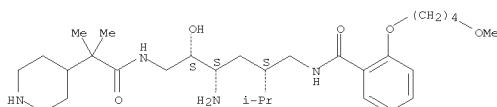
L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

RN 861450-99-9 CAPLUS
CN L-arabino-Hexitol, 3-amino-1,3,4,5,6-pentadeoxy-6-[(2-(4-methoxybutoxy)benzoyl)amino]-5-(1-methylethyl)-1-[(2-methyl-1-oxo-2-(4-piperidinyl)propyl)amino]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



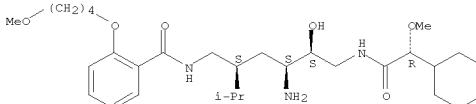
● 2 HCl

RN 861451-00-5 CAPLUS
CN L-arabino-Hexitol, 3-amino-1,3,4,5,6-pentadeoxy-1-[(2-(1,2-dihydrospiro[3H-indole-3,4'-piperidin]-1'-yl)-2-methyl-1-oxopropyl)amino]-6-[(2-(4-methoxybutoxy)benzoyl)amino]-5-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 861451-01-6 CAPLUS
CN L-arabino-Hexitol, 3-amino-1-[(2R)-cyclohexylmethoxyacetyl]amino]-1,3,4,5,6-pentadeoxy-6-[(2-(4-methoxybutoxy)benzoyl)amino]-5-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

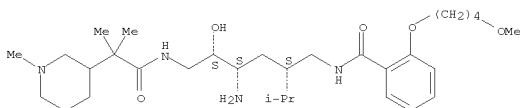


● HCl

RN 861451-02-7 CAPLUS
CN L-arabino-Hexitol, 3-amino-1,3,4,5,6-pentadeoxy-6-[(2-(4-methoxybutoxy)benzoyl)amino]-5-(1-methylethyl)-1-[(2-methyl-1-(1-methyl-3-piperidinyl)-1-oxopropyl)amino]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

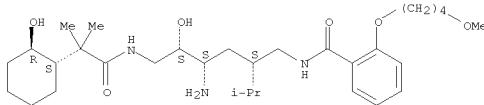
L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● 2 HCl

RN 861451-03-8 CAPLUS
CN L-arabino-Hexitol, 3-amino-1,3,4,5,6-pentadeoxy-1-[(2-[(1S,2R)-2-hydroxycyclohexyl]-2-methyl-1-oxopropyl)amino]-6-[(2-(4-methoxybutoxy)benzoyl)amino]-5-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



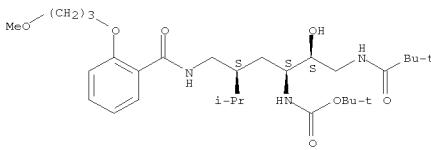
● HCl

IT 861451-16-3P 861451-17-4P 861451-18-5P
861451-19-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of diamino alcs. as renin inhibitors)

RN 861451-16-3 CAPLUS
CN L-arabino-Hexitol, 1,3,4,5,6-pentadeoxy-3-[(1,1-dimethylethoxy)carbonyl]amino]-1-[(2,2-dimethyl-1-oxopropyl)amino]-6-[(2-(3-methoxypropoxy)benzoyl)amino]-5-(1-methylethyl)- (CA INDEX NAME)

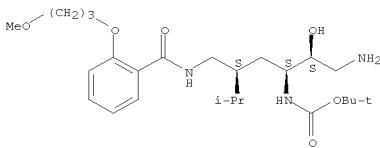
Absolute stereochemistry.

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



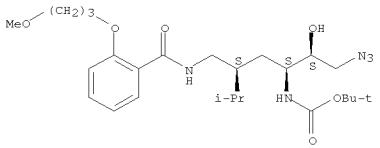
RN 861451-17-4 CAPLUS
CN L-arabino-Hexitol, 1-amino-1,3,4,5,6-pentadeoxy-3-[(1,1-dimethylethoxy)carbonyl]amino]-6-[(2-(3-methoxypropoxy)benzoyl)amino]-5-(1-methylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 861451-18-5 CAPLUS
CN L-arabino-Hexitol, 1-azido-1,3,4,5,6-pentadeoxy-3-[(1,1-dimethylethoxy)carbonyl]amino]-6-[(2-(3-methoxypropoxy)benzoyl)amino]-5-(1-methylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



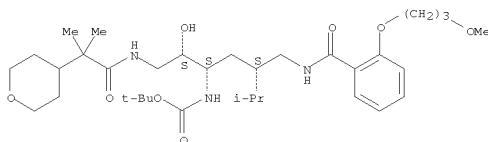
RN 861451-19-6 CAPLUS
CN L-arabino-Hexitol, 1,3,4,5,6-pentadeoxy-3-[(1,1-dimethylethoxy)carbonyl]amino]-6-[(2-(3-methoxypropoxy)benzoyl)amino]-5-(1-methylethyl)-1-[(2-methyl-1-oxo-2-(tetrahydro-2H-pyran-4-yl)propyl)amino]- (CA INDEX NAME)

12/19/2008

10-587,150 entire scope.trn

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (CA INDEX NAME) (Continued)

Absolute stereochemistry.



L4 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2004:209669 CAPLUS
 DOCUMENT NUMBER: 140:391486
 TITLE: Design and synthesis of substrate-based inhibitors of botulinum neurotoxin type B metalloprotease
 AUTHOR(S): Oost, Thorsten; Sukonpan, Chanokporn; Brewer, Matthias; Goodnough, Michael; Tepp, William; Johnson, Eric A.; Rich, Daniel H.
 CORPORATE SOURCE: Department of Chemistry, School of Pharmacy, University of Wisconsin-Madison, Madison, WI, 53705, USA
 SOURCE: Biopolymers (2003), 71(6), 602-619
 PUBLISHER: John Wiley & Sons, Inc.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 140:391486
 AB Botulinum toxin (BoNT) metalloproteases and related proteases are the most

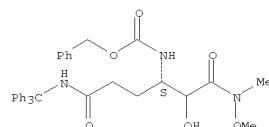
selective proteases known. X-ray crystal structures suggest that the native enzymes exist in catalytically incompetent forms that must be activated by substrate binding. In order to characterize the postulated substrate-induced conformational changes, we synthesized a series of transition state analog inhibitors (TSA) in which the dipeptide cleavage site has been replaced by tetrahedral intermediate analogs within the minimal substrate peptide sequence. Reduced amide, α-hydroxy amide, α-thiol amide and hydroxyethylamine analogs of -Gln-Phe- were incorporated via solid phase peptide synthesis into 35-mer analogs of the minimal peptide substrate sequence. The synthesis, characterization, and inhibition kinetics for four series of compds. against holotoxin BoNT/B

is described. The α-thiol amide derivs. of the 35-mer substrate were found to inhibit BoNT/B in the low micromolar range.

IT 686276-31-3P 686276-32-4P 686276-36-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (synthesis of peptide analog inhibitors of botulinum neurotoxin type B metalloprotease)

RN 686276-31-3 CAPLUS
 CN D-glycero-Hexaramide,
 2,3,4-trideoxy-4-[(phenylmethoxy)carbonyl]amino]-N6-methoxy-N6-methyl-N1-(triphenylmethyl)-, (5S)- (9CI) (CA INDEX NAME)

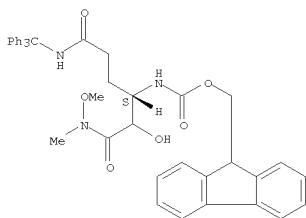
Absolute stereochemistry.



L4 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

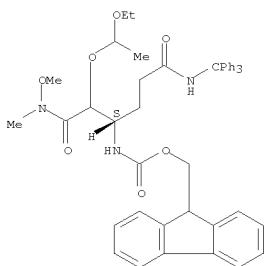
RN 686276-32-4 CAPLUS
 CN D-glycero-Hexaramide, 2,3,4-trideoxy-4-[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-N6-methoxy-N6-methyl-N1-(triphenylmethyl)-, (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 686276-36-8 CAPLUS
 CN D-glycero-Hexaramide,
 2,3,4-trideoxy-5-O-(1-ethoxyethyl)-4-[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-N6-methoxy-N6-methyl-N1-(triphenylmethyl)-, (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

12/19/2008

10-587,150 entire scope.trn

L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:447012 CAPLUS

DOCUMENT NUMBER: 129:189656

ORIGINAL REFERENCE NO.: 129:38533a,38536a

TITLE: Structure-Based Design, Synthesis, and Biological Evaluation of Irreversible Human Rhinovirus 3C Protease Inhibitors. 1. Michael Acceptor Structure-Activity Studies

AUTHOR(S): Dragovich, Peter S.; Webber, Stephen E.; Babine, Robert E.; Fuhrman, Sheila A.; Patick, Amy K.; Matthews, David A.; Lee, Caroline A.; Reich, Siegfried

H.; Prins, Thomas J.; Marakovits, Joseph T.; Littlefield, Ethel S.; Zhou, Ru; Tikhe, Jayashree; Ford, Clifford E.; Wallace, Michael B.; Meador, James W., III; Ferre, Rose Ann; Brown, Edward L.; Binford, Susan L.; Hart, James E. V.; Delisle, Dorothy M.; Worland, Stephen T.

CORPORATE SOURCE: Agouron Pharmaceuticals Inc., San Diego, CA, 92121, USA

SOURCE: Journal of Medicinal Chemistry (1998), 41(15), 2806-2818

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The structure-based design, chemical synthesis, and biol. evaluation of peptide-derived human rhinovirus (HRV) 3C protease (3CP) inhibitors are described. These compds. incorporate various Michael acceptor moieties and are shown to irreversibly bind to HRV serotype 14 3CP with inhibition activities ($K_{obs}/[I]$) ranging from 100 to 600 000 M⁻¹ s⁻¹. These inhibitors are also shown to exhibit antiviral activity when tested against HRV-14-infected HEK-293T cells with EC₅₀'s approaching 0.50 μ M. Extensive structure-activity relationships developed by Michael acceptor alteration are reported along with the evaluation of several compds. against HRV serotypes other than 14. A 2.0 \AA crystal structure of a peptide-derived inhibitor complexed with HRV-2 3CP is also detailed.

IT 211869-46-4P

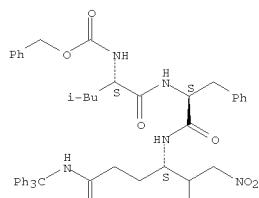
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(structure-based design, synthesis, and biol. evaluation of irreversible human rhinovirus 3C protease inhibitors which incorporate Michael acceptor moieties)

RN 211869-46-4 CAPLUS

CN D-glycero-Hexanamide, 2,3,4,6-tetrahydroxy-6-nitro-4-[(N-[(phenylmethoxy)carbonyl]-L-leucyl-L-phenylalanyl)amino]-N-(triphenylmethyl)-, (5 β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



REFERENCE COUNT: 75 THERE ARE 75 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

12/19/2008

10-587,150 entire scope.trn

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